Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID: SSSPTA1626GMS

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

```
NEWS 1
                Web Page URLs for STN Seminar Schedule - N. America
NEWS 2
                "Ask CAS" for self-help around the clock
                Powerful new interactive analysis and visualization software,
NEWS 3 JUL 20
                STN AnaVist, now available
NEWS 4 AUG 11 STN AnaVist workshops to be held in North America
NEWS 5 AUG 30 CA/CAplus -Increased access to 19th century research documents
NEWS 6 AUG 30 CASREACT - Enhanced with displayable reaction conditions
NEWS 7 SEP 09 ACD predicted properties enhanced in REGISTRY/ZREGISTRY
NEWS 8 OCT 03
                MATHDI removed from STN
NEWS 9 OCT 04
                CA/CAplus-Canadian Intellectual Property Office (CIPO) added
                to core patent offices
NEWS 10 OCT 06
                STN AnaVist workshops to be held in North America
                New CAS Information Use Policies Effective October 17, 2005
NEWS 11
        OCT 13
NEWS 12
        OCT 17
                STN(R) AnaVist(TM), Version 1.01, allows the export/download
                of CAplus documents for use in third-party analysis and
```

NEWS EXPRESS JUNE 13 CURRENT WINDOWS VERSION IS V8.0, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 13 JUNE 2005

visualization tools

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS INTER General Internet Information
NEWS LOGIN Welcome Banner and News Items
NEWS PHONE Direct Dial and Telecommunication Network Access to STN
NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

FILE 'HOME' ENTERED AT 12:44:18 ON 21 OCT 2005

=>
Uploading
THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE

10685722.trn Page 1

Do you want to switch to the Registry File?

Choice (Y/n):

Switching to the Registry File...

Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS

SINCE FILE TOTAL

ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 12:44:29 ON 21 OCT 2005 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2005 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 19 OCT 2005 HIGHEST RN 865652-03-5 DICTIONARY FILE UPDATES: 19 OCT 2005 HIGHEST RN 865652-03-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when conducting ${\tt SmartSELECT}$ searches.

*

- * The CA roles and document type information have been removed from *
- * the IDE default display format and the ED field has been added, * effective March 20, 2005. A new display format, IDERL, is now
- * available and contains the CA role and document type information. *

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

Uploading C:\Program Files\Stnexp\Queries\10685722.str

```
chain nodes :
28 29 30 31 32 34
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23
24 25 26 27
chain bonds :
4-30 8-32 11-28 17-26 20-34 25-28 27-29 30-31 31-32
ring bonds :
1-2 1-5 2-3 3-4 4-5 6-7 6-11 7-8 8-9 9-10 10-11 12-13 12-23 13-14
14-15 15-24 16-17 16-21 17-18 18-19 19-20 20-21 22-23 22-27 23-24 24-25 25-26 26-27
exact/norm bonds :
3-4 4-5 4-30 8-32 27-29 31-32
exact bonds :
1-2 1-5 2-3 11-28 17-26 20-34 22-23 22-27 24-25 25-26 25-28 26-27 30-31
normalized bonds :
6-7 6-11 7-8 8-9 9-10 10-11 12-13 12-23 13-14 14-15 15-24 16-17 16-21
17-18 18-19 19-20 20-21 23-24
isolated ring systems :
containing 1 : 6 : 12 : 16 :
```

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:CLASS 29:CLASS 30:CLASS 31:CLASS 32:CLASS 34:CLASS

L1 STRUCTURE UPLOADED

=> d 11 L1 HAS NO ANSWERS L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

12:48

10685722.trn Page 3

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 12:44:45 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED -

100.0% PROCESSED

5 ITERATIONS

3 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

> BATCH **COMPLETE**

PROJECTED ITERATIONS:

5 TO

PROJECTED ANSWERS:

3 TO 163

L2

L3

3 SEA SSS SAM L1

=> s l1 sss full-

FULL SEARCH INITIATED 12:44:51 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED -

93 TO ITERATE

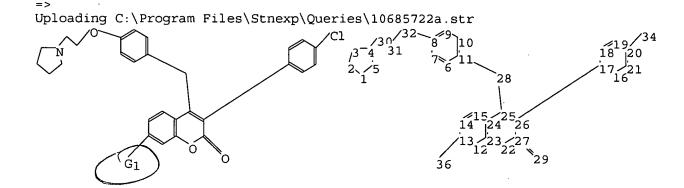
100.0% PROCESSED

93 ITERATIONS

SEARCH TIME: 00.00.01

27 SEA SSS FUL L1

27 ANSWER



chain nodes :

28 29 30 31 32 34 36

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23

24 25 26 27

chain bonds :

4-30 8-32 11-28 13-36 17-26 20-34 25-28 27-29 30-31 31-32

ring bonds :

1-2 1-5 2-3 3-4 4-5 6-7 6-11 7-8 8-9 9-10 10-11 12-13 12-23 13-14

14-15 15-24 16-17 16-21 17-18 18-19 19-20 20-21 22-23 22-27 23-24 24-25

25-26 26-27

10685722.trn

Page 4

exact/norm bonds :

3-4 4-5 4-30 8-32 13-36 27-29 31-32

exact bonds :

1-2 1-5 2-3 11-28 17-26 20-34 22-23 22-27 24-25 25-26 25-28 26-27 30-31

normalized bonds :

 $6-7 \quad 6-11 \quad 7-8 \quad 8-9 \quad 9-10 \quad 10-11 \quad 12-13 \quad 12-23 \quad 13-14 \quad 14-15 \quad 15-24 \quad 16-17 \quad 16-21$

17-18 18-19 19-20 20-21 23-24

isolated ring systems : containing 1 : 6 : 12 : 16 :

G1:X,Ak,CH3,CF3

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom

11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom

20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:CLASS

29:CLASS 30:CLASS 31:CLASS 32:CLASS 34:CLASS 36:CLASS

L4 STRUCTURE UPLOADED

=> d 14

L4 HAS NO ANSWERS

L4

STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s 14

SAMPLE SEARCH INITIATED 12:46:41 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 5 TO ITERATE

100.0% PROCESSED 5 ITERATIONS

1 ANSWERS

7 ANSWER

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS:

5 TO 234

PROJECTED ANSWERS:

1 TO

L5 1 SEA SSS SAM L4

=> s l4 sss full

FULL SEARCH INITIATED 12:46:47 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 93 TO ITERATE

100.0% PROCESSED

93 ITERATIONS

SEARCH TIME: 00.00.01

7 SEA SSS FUL L4

=> d his

L6

10685722.trn

Page 5

(FILE 'HOME' ENTERED AT 12:44:18 ON 21 OCT 2005)

FILE 'REGISTRY' ENTERED AT 12:44:29 ON 21 OCT 2005

L1STRUCTURE UPLOADED

3 S L1 L2

L3 27 S_L1 SSS FULL

STRUCTURE UPLOADED 1.4

L5 1 S L4

L6 7 S L4 SSS FULL

=> FIL HCAPLUS

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

FULL ESTIMATED COST

ENTRY SESSION 323.52 323.73

FILE 'HCAPLUS' ENTERED AT 12:46:56 ON 21 OCT 2005 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 21 Oct 2005 VOL 143 ISS 18 FILE LAST UPDATED: 20 Oct 2005 (20051020/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13L7

=> s 16

1.8

2 L6

=> d l7 ibib abs hitstr tot

ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2005:283488 HCAPLUS

DOCUMENT NUMBER:

142:336252

TITLE:

Preparation of benzopyranone derivatives as inhibitors

of the release of LL-6 production

INVENTOR(S):

Mckie, Jeffrey A Bhagwat, Shripad S.; Renaud, Johanne: Messbach, Martin

PATENT ASSIGNEE(S):

Signal Pharmaceuticals, Llc, USA; Novartis Ag

SOURCE:

PCT Int. Appl., 76 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

·10685722.trn

Page 6

GI

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT	KIN	KIND DATE				APPL		DATE								
WO 2005	028472	- <i></i> 2	A1	-	20050331		MARKET ,	 WO 2	 004-1	US30:	 141		20040913			
W:	AE, A	AG, AL	AM,	AT,	`AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
	CN, C	CO, CR	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
	GÉ, (GH, GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	
	LK, I	LR, LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
	NO, 1	NZ, OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
		TM, TN														
RW:	BW, C	GH, GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	
	AZ, E	BY, KG	ΚZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	
	EE, E	ES, FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	
	SI, S	SK, TR	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	
	SN, T	TD, TG												-	-	
US 2005137231					2005	0623	1	US 2	004-	9425	19		20040915			
PRIORITY APP					1	US 2	003-	5032	95P	P 20030915			915			
OTHER SOURCE	MAR	PAT	142:	3362	52											

$$R^1$$
 R^1
 R^2
 R^2

AB Title compds. represented by the formula I [wherein X, Y = independently H, halo or (halo)alkyl; n = 1-3; Rl = H or Me; R2 = halo, OH, vinyl, CO2H, etc.; and pharmaceutically acceptable salts thereof] were prepared as inhibitors of the release of IL-6 production For example, II was given in a multi-step synthesis starting from the reaction of 3-methoxyphenol with 4-hydroxyphenylacetic acid. I showed inhibition of the release of IL-6 production, MCF-7 breast cancer cell proliferation, and the growth of BG-1 ovarian cancer cells. Thus, I and their pharmaceutical compns. are useful for the treatment or prevention of a bone-resorting disease, a neoplastic disease, arthritis, and etc.

IT **848749-18-8P**, 3-(2,4-Dichlorophenyl)-7-hydroxy-6-methyl-4-[4-[2-(pyrrolidin-1-yl)ethoxy]benzyl]chromen-2-one **848749-19-9P**,

RN 848749-19-9 HCAPLUS
CN 2H-1-Benzopyran-2-one, 3-(2,4-dichlorophenyl)-7-hydroxy-8-methyl-4-[[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 848749-24-6 HCAPLUS

CN 2H-1-Benzopyran-2-one, 8-acetyl-3-(2,4-dichlorophenyl)-7-hydroxy-4-[[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

IT **848749-23-5P**, 3-(2,4-Dichlorophenyl)-7-hydroxy-8-iodo-4-[4-[2-(pyrrolidin-1-yl)ethoxy]benzyl]chromen-2-one

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of benzopyranone derivs. as inhibitors of the release of IL-6

10685722.trn

Page 9

production)

RN 848749-23-5 HCAPLUS

CN 2H-1-Benzopyran-2-one, 3-(2,4-dichlorophenyl)-7-hydroxy-8-iodo-4-[[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2004:392330 HCAPLUS

DOCUMENT NUMBER:

140:391197

TITLE:

Preparation of benzopyranone compounds for modulating

estrogen receptor expression

INVENTOR(S):

Renaud, Johanne; Missbach, Martin; McKie, Jeffrey A

Bhagwat, Shripad S.

PATENT ASSIGNEE(S):

Switz.

SOURCE:

U.S. Pat. Appl. Publ., 26 pp., Cont.-in-part of U.S.

Ser. No. 125,965.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT	NO.			KIN	D :	DATE		i	APPL	ICAT	ION 1	NO.		D	ATE	
US 2004	0925	- 72		A1	_	2004	 0.5.1.3		HC 2	003-	4120	- -		-	00304	111
US 6620		<u> </u>		B1	_	_	0916			003- 002-				_	0020	
CA 2482	986			AA			1030			003-				_	00304	
WO 2003	0894	22		A1		2003	1030	I	WO 2	003-1	US12:	283		2	00304	118
W:	ΑĖ,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	ВG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	ΕE,	ES,	FI,	GB,	GD,	GE,	GH,
	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JΡ,	ΚE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,
															OM,	

PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG 20050119 EP 2003-733871 A1 20030418 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK PRIORITY APPLN. INFO.: US 2002-125965 A2 20020419 US 2003-412997 A 20030414 WO 2003-US12283 W 20030418

OTHER SOURCE(S):

MARPAT 140:391197

GΙ

Benzopyranone compds. of formula I [R = H, acyl, etc.; X = H, halo, CF3; Y = halo, CF3; n = 2-4] are prepared for modulating gene expression in a cell expressing estrogen receptor (ER). The compds. of formula I wherein R is H can be prepared by demethylation of the corresponding phenolic Me ether. The compds. are useful for treating a bone-resorbing disease, cancer, arthritis or an estrogen-related condition such as breast cancer, osteoporosis, endometriosis, cardiovascular disease, hypercholesterolemia, prostatic hypertrophy, prostatic carcinomas, obesity, hot flashes, skin effects, mood swings, memory loss, and adverse reproductive effects associated with exposure to environmental chems. or natural hormonal imbalances. Thus, II was prepared from (2-chloro-4trifluoromethylphenyl)acetic acid, 1-(2-hydroxy-4-methoxyphenyl)-2-(4hydroxyphenyl)ethan-1-one and 1-(2-chloroethyl)pyrrolidine hydrochloride. The IC50 of II against MCF-7 breast cancer cell was 4.5 nM. IT 601513-02-4P 601513-06-8P 601513-07-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

10/21/2005

10685722.trn

(Uses)

(preparation of benzopyranone compds. for modulating estrogen receptor expression)

RN 601513-02-4 HCAPLUS

CN 2H-1-Benzopyran-2-one, 3-[4-chloro-2-(trifluoromethyl)phenyl]-7-hydroxy-4-[[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 601513-06-8 HCAPLUS

CN 2H-1-Benzopyran-2-one, 3-(2,4-dichlorophenyl)-7-hydroxy-4-[[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 601513-07-9 HCAPLUS

CN 2H-1-Benzopyran-2-one, 3-(4-chlorophenyl)-7-hydroxy-4-[[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

IT 601513-35-3P 601513-44-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of benzopyranone compds. for modulating estrogen receptor expression)

10685722.trn

Page 13

RN 601513-35-3 HCAPLUS

CN 2H-1-Benzopyran-2-one, 3-[4-chloro-2-(trifluoromethyl)phenyl]-7-methoxy-4-[[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 601513-44-4 HCAPLUS

CN 2H-1-Benzopyran-2-one, 3-(2,4-dichlorophenyl)-7-methoxy-4-[[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN 2004:354751 HCAPLUS

ACCESSION NUMBER:

DOCUMENT NUMBER: 140:350547

TITLE:

Benzopyranone compounds, compositions thereof, and methods for treating or preventing cancer Friedman, Glenn; McKie, Jeffrey; Wright, Jonathan Signal Pharmaceuticals, Llc, USA PCT Int. Appl., 34 pp.

INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

P	PATENT NO.				KIN	D	DATE							DATE			
	O 2004							200 <u>40429</u> 20040826			2003-				2003101		
	W:									BB	, BG,	BR.	BY.	B7.	CA.	CH.	CN
											EE,						
											, KG,						
											, MW,						
	•										, SG,						
		TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW			
	RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ	, TZ,	ŪĠ,	ZM,	ZW,	AM,	ΑZ,	BY,
		KG,	ΚZ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	, CH,	CY,	CZ,	DΕ,	DK,	ΕE,	ES,
		FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	, NL,	PT,	RO,	SE,	SI,	SK,	TR,
							CM,	GA,	GN,	GQ,	, GW,	ML,	MR,	NE,	SN,	TD,	TG
	S 2004																
	A 2502																
E	P 1556																
	R:										IT,						PT,
											TR,					SK	
	R 2003				Α		2005	0816		BR 2	2003-	1540	0		2	0031	015
PRIORI	TY APP	LN.	INFO	.:						US 2	2002-	4184	69P	;	P 2	0021	015
										US 2	2003-	6857	22	Ž	A 2	0031	014
										WO 2	2003-1	US32	932	1	W 2	0031	015
OTHER	SOURCE	(S)			MARI	РΔТ	140.	3505	47								

OTHER SOURCE(S):

MARPAT 140:350547

GI

AB This invention relates to benzopyranone compds., compns. comprising a benzopyranone compound and methods for treating or preventing cancer or inhibiting the growth of a cancer cell or neoplastic cell comprising administering an effective amount of a benzopyranone compound The benzopyranone compds. have the formula I, or a pharmaceutically acceptable salt thereof, wherein R1 is halogen, trifluoromethyl or C1-6 alkyl. A

10685722.trn

solution of the phenolbenzopyranone (0.74 mmol), triphenylphosphine(1.1 mmol), and 1-(2-hydroxyethyl)pyrrolidine (1.1 mmol) in THF/CH2Cl2 (8 mL) was treated with DIAD (1.1 mmol) and the reaction mixture was stirred at room temperature for about 6 h. The reaction mixture was concentrated and the crude

product was purified using flash chromatog. to provide about 35 mg (10%) of 13-(4-chlorophenyl)-7-fluoro-4- [4-(2-piperidin-1-yl-ethoxy)-benzyl]-chromen-2-one.

IT 681813-32-1P 681813-35-4DP, derivs.

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(benzopyranone compds., compns. thereof, and methods for treating or preventing cancer)

RN 681813-32-1 HCAPLUS

CN 2H-1-Benzopyran-2-one, 3-(4-chlorophenyl)-7-fluoro-4-[[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 681813-35-4 HCAPLUS

CN 2H-1-Benzopyran-2-one, 3-(4-chlorophenyl)-4-[[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2005 ACS OF STN

ACCESSION NUMBER: 2003:855919 HCAPLUS

DOCUMENT NUMBER: 139:350634

TITLE:

L7

Preparation of benzopyranone compounds as inhibitors

of interleukin 6 release, antitumor agents, etc. McKie, Jeffrey A.; Bhagwat, Shripad S.; Renaud, Johanne; Missbach, Martin

Signal Pharmaceuticals, Inc., USA; Novartis A.-G. PATENT ASSIGNEE(S):

PCT Int. Appl., 63 pp.

SOURCE:

DOCUMENT TYPE:

INVENTOR (S):

LANGUAGE:

Patent English

CODEN: PIXXD2

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE		
WO 2003089422	A1 20031030	WO 2003-US12283	20030418		
W: AE, AG, AL,	AM, AT, AU, AZ,	BA, BB, BG, BR, BY, BZ,	CA, CH, CN,		
		DZ, EC, EE, ES, FI, GB,			
GM, HR, HU,	ID, IL, IN, IS,	JP, KE, KG, KP, KR, KZ,	LC, LK, LR,		
LS, LT, LU,	LV, MA, MD, MG,	MK, MN, MW, MX, MZ, NO,	NZ, OM, PH,		
PL, PT, RO,	RU, SC, SD, SE,	SG, SK, SL, TJ, TM, TN,	TR, TT, TZ,		
UA, UG, US,	UZ, VC, VN, YU,	ZA, ZM, ZW			
RW: GH, GM, KE,	LS, MW, MZ, SD,	SL, SZ, TZ, UG, ZM, ZW,	AM, AZ, BY,		
KG, KZ, MD,	RU, TJ, TM, AT,	BE, BG, CH, CY, CZ, DE,	DK, EE, ES,		
FI, FR, GB,	GR, HU, IE, IT,	LU, MC, NL, PT, RO, SE,	SI, SK, TR,		
BF, BJ, CF,	CG, CI, CM, GA,	GN, GQ, GW, ML, MR, NE,	SN, TD, TG		
US 662.0838	B1 20030916	US 2002-125965	20020419		
US 2004092572	A1 20040513	US 2003-412997	20030414		
CA 2482986	AA 20031030	CA 2003-2482986	20030418		
EP 1497277	A1 20050119	EP 2003-733871	20030418		
R: AT, BE, CH,	DE, DK, ES, FR,	GB, GR, IT, LI, LU, NL,	SE, MC, PT,		

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

PRIORITY APPLN. INFO.: US 2002-125965 A 20020419

US 2003-412997 A 20030414

WO 2003-US12283 W 20030418

OTHER SOURCE(S):

MARPAT 139:350634

GI

AB The title compds. I [A = (CH2)n; n = 2 to 4; R1 = H, COR2, etc.; R2 = alkyl, etc.; X = H, halo, etc.; Y = halo, etc.] are prepared I are useful for treating a bone-resorbing disease, cancer, arthritis or an estrogen-related condition such as breast cancer, osteoporosis, endometriosis, cardiovascular disease, hypercholesterolemia, prostatic hypertrophy, prostatic carcinomas, obesity, hot flashes, skin effects, mood swings, memory loss, and adverse reproductive effects associated with exposure to environmental chems. or natural hormonal imbalances. Compds. of this invention inhibit both MCF-7 breast cancer and BG-1 ovarian carcinoma cell proliferation; they showed IC50 values of 1.4 nM to 13.6 nM against BG-1 ovarian carcinoma cells and IC50 values of 3 nM to 13.6 nM against MCF-7 breast cancer cells.

IT 601513-02-4P 601513-06-8P 601513-07-9P 601513-17-1P 601513-18-2P 601513-19-3P

601513-35-3P 601513-44-4P 618885-77-1P

618885-78-2P 618885-79-3P 618885-80-6P

618885-81-7P 618885-82-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzopyranone compds. as inhibitors of interleukin 6 release, and antitumor agents)

RN 601513-02-4 HCAPLUS

CN 2H-1-Benzopyran-2-one, 3-[4-chloro-2-(trifluoromethyl)phenyl]-7-hydroxy-4[[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 601513-06-8 HCAPLUS

CN 2H-1-Benzopyran-2-one, 3-(2,4-dichlorophenyl)-7-hydroxy-4-[[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 601513-07-9 HCAPLUS

CN 2H-1-Benzopyran-2-one, 3-(4-chlorophenyl)-7-hydroxy-4-[[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 601513-17-1 HCAPLUS

CN 2H-1-Benzopyran-2-one, 3-(4-chloro-2-fluorophenyl)-7-hydroxy-4-[[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 601513-18-2 HCAPLUS

CN 2H-1-Benzopyran-2-one, 3-(2-bromo-4-chlorophenyl)-7-hydroxy-4-[[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 601513-19-3 HCAPLUS

CN 2H-1-Benzopyran-2-one, 3-(4-chloro-2-iodophenyl)-7-hydroxy-4-[[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 601513-35-3 HCAPLUS

CN 2H-1-Benzopyran-2-one, 3-[4-chloro-2-(trifluoromethyl)phenyl]-7-methoxy-4-[[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 601513-44-4 HCAPLUS

CN 2H-1-Benzopyran-2-one, 3-(2,4-dichlorophenyl)-7-methoxy-4-[[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 618885-77-1 HCAPLUS

CN 2H-1-Benzopyran-2-one, 7-(acetyloxy)-3-(4-chlorophenyl)-4-[[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 618885-78-2 HCAPLUS

CN 2H-1-Benzopyran-2-one, 7-(acetyloxy)-3-(2,4-dichlorophenyl)-4-[[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 618885-79-3 HCAPLUS

CN 2H-1-Benzopyran-2-one, 7-(acetyloxy)-3-(4-chloro-2-fluorophenyl)-4-[[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 618885-80-6 HCAPLUS

CN 2H-1-Benzopyran-2-one, 7-(acetyloxy)-3-(2-bromo-4-chlorophenyl)-4-[[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 618885-81-7 HCAPLUS

CN 2H-1-Benzopyran-2-one, 7-(acetyloxy)-3-(4-chloro-2-iodophenyl)-4-[[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 618885-82-8 HCAPLUS

CN 2H-1-Benzopyran-2-one, 7-(acetyloxy)-3-[4-chloro-2-(trifluoromethyl)phenyl]-4-[[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]methyl]-(9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN

10685722.trn

Page 25

10/21/2005

10685722.trn

ACCESSION NUMBER:

2003:730534 HCAPLUS

DOCUMENT NUMBER:

139:261167

TITLE:

Preparation of benzopyranones for inhibiting

INVENTOR(S):

Mckie, Jeffrey A.; Bhagwat, Shripad S.; Renaud,

home

PATENT ASSIGNEE(S):

Signal Pharmaceuticals, Inc., USA

SOURCE:

GΙ

U.S., 21 pp. CODEN: USXXAM

DOCUMENT TYPE: LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT		KIND		DATE			APPL	ICAT	ION I	NO.	DATE				
US 662	0838		B1		2003	0916	1	US 2	002-		2	0020-	419		
US 200	4092572		A1 20040513				1	US 2	003-		20030414				
CA 248	2986		AA 20031030					CA 2	003-		20030418				
WO 200	3089422		A1 20031030				1	WO 2	003-1						
W :	AE, AG	, AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
	CO, CR														
	GM, HR	, HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,
	LS, LT	, LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,
	PL, PT	, RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,
	UA, UG	, US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW						
, RW	: GH, GM	, KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
	KG, KZ	, MD,	RU,	ТJ,	TM,	AT,	ΒE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
	FI, FR	, GB,	GR,	HU,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,
	BF, BJ	, CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG
EP 149	7277		A1		2005	0119		EP 2	003-	7338	71		2(0030	418
R:	AT, BE	, CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
	IE, SI	, LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	SK	
PRIORITY AF	PLN. INF	0.:					1	US 2	002-	1259	65	1	A2 2	0020	419
							1	US 2	003-4	4129	97	i	A 20	0030	414
							1	WO 2	003-1	US12:	283	Ţ	v 20	0030	418
OTHER SOURCE	E(S):		MARI	TAS	139:	2611	67								

The title benzopyranones [I; n = 2-4; R1 = H, COR2, CO2R2, etc.; R2 =AB alkyl, aryl, arylalkyl, etc.; X = H, halo, CF3; Y = halo, CF3], useful for treating a bone-resorbing disease, cancer, arthritis or an estrogen-related condition such as breast cancer, osteoporosis and endometriosis, were prepared E.g., a 4-step synthesis of I [n = 2; R1 = H;

Ι

10685722.trn

Page 26

X = Cl; Y = CF3] (starting from tert-Bu acetate and 3-chloro-4-iodobenzotrifluoride) which showed IC50 of 0.4 nM against IL-6, was given. The compds. I, wherein Rl = H, can be prepared by demethylation of the corresponding phenolic Me ether. Pharmaceutical composition comprising the compound I was claimed.

IT 601513-02-4P 601513-05-7P 601513-06-8P 601513-07-9P 601513-17-1P 601513-18-2P 601513-19-3P 601513-20-6P 601513-21-7P 601513-22-8P 601513-23-9P 601513-24-0P 601513-25-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzopyranones for inhibiting interleukin-6)

RN 601513-02-4 HCAPLUS

CN 2H-1-Benzopyran-2-one, 3-[4-chloro-2-(trifluoromethyl)phenyl]-7-hydroxy-4[[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 601513-05-7 HCAPLUS

CN 2H-1-Benzopyran-2-one, 3-(4-chlorophenyl)-7-hydroxy-4-[[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]methyl]-, hydrochloride (9CI) (CA INDEX NAME)

RN 601513-06-8 HCAPLUS

CN 2H-1-Benzopyran-2-one, 3-(2,4-dichlorophenyl)-7-hydroxy-4-[[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 601513-07-9 HCAPLUS

CN 2H-1-Benzopyran-2-one, 3-(4-chlorophenyl)-7-hydroxy-4-[[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 601513-17-1 HCAPLUS

CN 2H-1-Benzopyran-2-one, 3-(4-chloro-2-fluorophenyl)-7-hydroxy-4-[[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 601513-18-2 HCAPLUS

CN 2H-1-Benzopyran-2-one, 3-(2-bromo-4-chlorophenyl)-7-hydroxy-4-[[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 601513-19-3 HCAPLUS

CN 2H-1-Benzopyran-2-one, 3-(4-chloro-2-iodophenyl)-7-hydroxy-4-[[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 601513-20-6 HCAPLUS

CN 2H-1-Benzopyran-2-one, 7-acetyl-3-(4-chlorophenyl)-4-[[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 601513-21-7 HCAPLUS

CN 2H-1-Benzopyran-2-one, 7-acetyl-3-(2,4-dichlorophenyl)-4-[[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 601513-22-8 HCAPLUS

CN 2H-1-Benzopyran-2-one, 7-acetyl-3-(4-chloro-2-fluorophenyl)-4-[[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 601513-23-9 HCAPLUS

CN 2H-1-Benzopyran-2-one, 7-acetyl-3-(2-bromo-4-chlorophenyl)-4-[[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 601513-24-0 HCAPLUS

CN 2H-1-Benzopyran-2-one, 7-acetyl-3-(4-chloro-2-iodophenyl)-4-[[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 601513-25-1 HCAPLUS

CN 2H-1-Benzopyran-2-one, 7-acetyl-3-[4-chloro-2-(trifluoromethyl)phenyl]-4[[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

IT 601513-35-3P 601513-44-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of benzopyranones for inhibiting interleukin-6)

RN 601513-35-3 HCAPLUS

CN 2H-1-Benzopyran-2-one, 3-[4-chloro-2-(trifluoromethyl)phenyl]-7-methoxy-4-

10685722.trn

Page 33

[[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 601513-44-4 HCAPLUS

CN 2H-1-Benzopyran-2-one, 3-(2,4-dichlorophenyl)-7-methoxy-4-[[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

87 THERE ARE 87 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10685722.trn

Page 34

=> d 18 ibib abs hitstr tot

ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2005 ACS ON STANSION NUMBER: 2004:354751 HCAPLUS
MENT NUMBER: 140:350547
3: Benzonyran

ACCESSION NUMBER: DOCUMENT NUMBER:

TITLE:

Benzopyranone compounds, compositions thereof, and

methods for treating or preventing cancer

INVENTOR(S):

Briedman, Glenn; McKie, Jeffrey; Wright, Jonathan Signal Pharmaceuticals, Llc, USA

PATENT ASSIGNEE(S):

SOURCE:

PCT Int. Appl., 34 pp.

CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAC	PATENT NO.					KIND DATE					I CAT		DATE				
						-	FEBRUARY STATE								-		
WO	2004	0350	02		A2			0429	1	WO 2	003-1	JS32	932		2	0031	015
WO	2004	0350	02		A3		2004	0826									
•	W :	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	ΒA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
		CO,	CR,	CU,	CZ,	DΕ,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,
																TM,	
		TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW		·	•
	RW:						•	•			•	•	•		AM,	ΑZ,	BY,
		•		•			•			•	•	•	•		•	EE,	•
		•	-	-			•	•	•	•	•	•	•		•	SK,	•
					•			•	•	•		•	•		•	TD,	•
US	2004	-	-		•			•				•	•	•	•	0031	
	2502				AA											0031	
EP	1556	374															
																MC,	
					LV,												,
BR	2003		-	-	-	-				•	•				•	0031	015
PRIORITY													59P			0021	
													22			0031	
													932			0031	
									'	2					. 2	0001	0 4 3

OTHER SOURCE(S):

MARPAT 140:350547

GI

This invention relates to benzopyranone compds., compns. comprising a AΒ benzopyranone compound and methods for treating or preventing cancer or inhibiting the growth of a cancer cell or neoplastic cell comprising

10685722.trn

Page 35

administering an effective amount of a benzopyranone compound The benzopyranone compds. have the formula I, or a pharmaceutically acceptable salt thereof, wherein R1 is halogen, trifluoromethyl or C1-6 alkyl. A solution of the phenolbenzopyranone (0.74 mmol), triphenylphosphine(1.1 mmol), and 1-(2-hydroxyethyl)pyrrolidine (1.1 mmol) in THF/CH2Cl2 (8 mL) was treated with DIAD (1.1 mmol) and the reaction mixture was stirred at room temperature for about 6 h. The reaction mixture was concentrated and the crude

product was purified using flash chromatog. to provide about 35 mg (10%) of 13-(4-chlorophenyl)-7-fluoro-4- [4-(2-piperidin-1-yl-ethoxy)-benzyl]chromen-2-one.

681813-32-1P IT

> RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(benzopyranone compds., compns. thereof, and methods for treating or preventing cancer)

RN 681813-32-1 HCAPLUS

CN 2H-1-Benzopyran-2-one, 3-(4-chlorophenyl)-7-fluoro-4-[[4-[2-(1pyrrolidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2005 ACS on STN-

ACCESSION NUMBER:

DOCUMENT NUMBER:

PATENT ASSIGNEE(S):

TITLE:

SOURCE:

2003:730534 HCAPLUS

139:261167

Preparation of benzopyranones for inhibiting

interleukin-6

Mckie, Jeffrey A.; Bhagwat, Shripad S.; Renaud,

Johanne; Missbach, Martin

Signal Pharmaceuticals, Inc., USA

U.S., 21 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE:

English

10685722.trn

INVENTOR(S):

Page 36

GΙ

FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.			ND D	ATE	APPL:	I CAT	ION I	NO.	DATE				
US 66208		В		0030916	,	US 2					0020		
US 20040		₽.	1 2	00405 13		US 2	003-4						
CA 24829	986	Ā	2	0031030		CA 20	003-2		20030418				
WO 20030	89422	A	A1 20031030				003 <i>-</i> 1	JS12:	20030418				
W :	AE, AG,	AL, AM	, AT,	AU, AZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
	CO, CR,	CU, CZ	, DE,	DK, DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
	GM, HR,												
	LS, LT,												
	PL, PT,												
	UA, UG,								•	•	•		
	GH, GM,							UG.	ZM.	ZW.	AM.	AZ.	BY.
	KG, KZ,												
	FI, FR,												
	BF, BJ,												
EP 14972				0050119						-		0030	
	AT, BE,												-
													Ρ1,
	IE, SI,		, FI,	RO, MK,									
PRIORITY APPL	IN. INFO	. :				US 20							
						US 20	003-4	1129	97	i	A 20	0030	414
						WO 20	J-800	JS12:	283	1	W 20	0030	418
OTHER SOURCE ((S):	MA	RPAT 1	.39:2611	67								

AB The title benzopyranones [I; n = 2-4; R1 = H, COR2, CO2R2, etc.; R2 = alkyl, aryl, arylalkyl, etc.; X = H, halo, CF3; Y = halo, CF3], useful for treating a bone-resorbing disease, cancer, arthritis or an estrogen-related condition such as breast cancer, osteoporosis and endometriosis, were prepared E.g., a 4-step synthesis of I [n = 2; R1 = H; X = Cl; Y = CF3] (starting from tert-Bu acetate and 3-chloro-4-iodobenzotrifluoride) which showed IC50 of 0.4 nM against IL-6, was given. The compds. I, wherein R1 = H, can be prepared by demethylation of the corresponding phenolic Me ether. Pharmaceutical composition comprising the compound I was claimed.

IT 601513-20-6P 601513-21-7P 601513-22-8P 601513-23-9P 601513-24-0P 601513-25-1P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzopyranones for inhibiting interleukin-6)

RN 601513-20-6 HCAPLUS

CN 2H-1-Benzopyran-2-one, 7-acetyl-3-(4-chlorophenyl)-4-[[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 601513-21-7 HCAPLUS

CN 2H-1-Benzopyran-2-one, 7-acetyl-3-(2,4-dichlorophenyl)-4-[[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 601513-22-8 HCAPLUS

10685722.trn

Page 38

CN 2H-1-Benzopyran-2-one, 7-acetyl-3-(4-chloro-2-fluorophenyl)-4-[[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 601513-23-9 HCAPLUS

CN 2H-1-Benzopyran-2-one, 7-acetyl-3-(2-bromo-4-chlorophenyl)-4-[[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 601513-24-0 HCAPLUS

CN 2H-1-Benzopyran-2-one, 7-acetyl-3-(4-chloro-2-iodophenyl)-4-[[4-[2-(1-

10685722.trn

Page 39

pyrrolidinyl)ethoxy]phenyl]methyl] - (9CI) (CA INDEX NAME)

RN 601513-25-1 HCAPLUS

CN 2H-1-Benzopyran-2-one, 7-acetyl-3-[4-chloro-2-(trifluoromethyl)phenyl]-4-[[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 87 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

87

=> log y SINCE FILE COST IN U.S. DOLLARS TOTAL ENTRY SESSION FULL ESTIMATED COST 44.38 368.11 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION CA SUBSCRIBER PRICE -5.11 -5.11

STN INTERNATIONAL LOGOFF AT 12:49:07 ON 21 OCT 2005